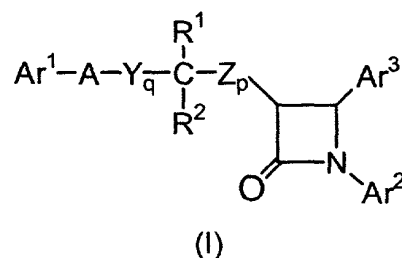


Therefore, I claim:

1. A method of treating or preventing sitosterolemia, comprising administering to a mammal in need of such treatment an effective amount of at least one sterol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor, or prodrug of the at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor, or mixture thereof.

2. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):



or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof, wherein:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is -O-, -S-, -S(O)- or -S(O)₂-;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

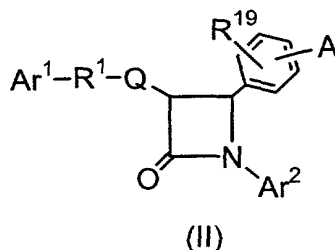
R⁵ is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

R³ and R⁴ are independently 1-3 substituents independently selected from the group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

3. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (II):



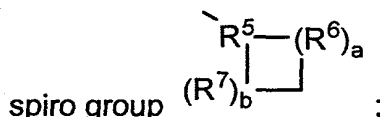
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (II) or of the isomers thereof, or prodrugs of the compounds of Formula (II) or of the isomers, salts or solvates thereof, wherein:

A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



R¹ is selected from the group consisting of

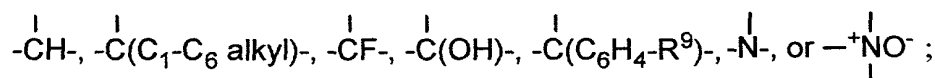
-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-G-(CH₂)_r-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

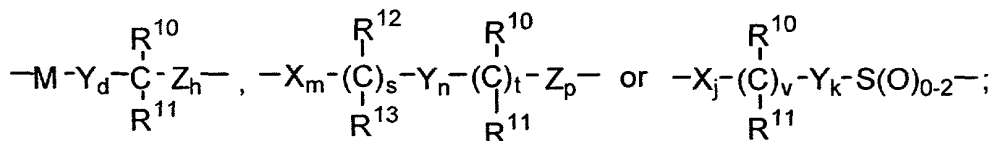
R⁵ is



R⁶ and R⁷ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆ alkyl))- , -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R⁵ together with an adjacent R⁶, or R⁵ together with an adjacent R⁷, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R⁶ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R⁷ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R⁶'s can be the same or different; and provided that when b is 2 or 3, the R⁷'s can be the same or different;

and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-,

-CH(C₁-C₆ alkyl)- and -C(di-(C₁-C₆) alkyl);

R¹⁰ and R¹² are independently selected from the group consisting of -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

R¹¹ and R¹³ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl and aryl; or R¹⁰ and R¹¹ together are =O, or

R¹² and R¹³ together are =O;

d is 1, 2 or 3;

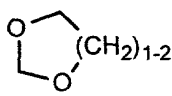
h is 0, 1, 2, 3 or 4;

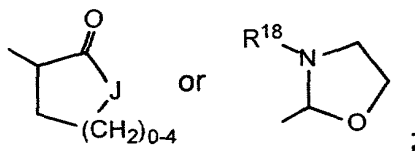
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R² is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C₁-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl, R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵, NR¹⁴R¹⁵(C₁-C₆ alkylene)-, NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene)-, -NHC(O)R¹⁶, OH, C₁-C₆ alkoxy, -OC(O)R¹⁶, -COR¹⁴, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a substituent on a heterocycloalkyl ring, R² is as defined, or is =O

or ; and, where R² is a substituent on a substitutable ring nitrogen, it is hydrogen, (C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH₂)₁₋₆CONR¹⁸R¹⁸,



wherein J is -O-, -NH-, -NR¹⁸- or -CH₂-;

R³ and R⁴ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶, -O(CH₂)₁₋₅OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁵R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆ alkylene)-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

R⁸ is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

R⁹ and R¹⁷ are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

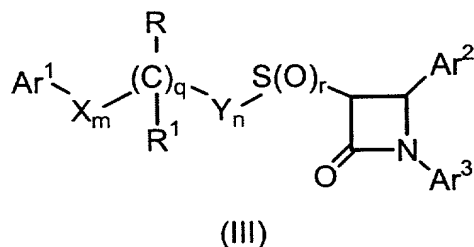
R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R¹⁶ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R¹⁸ is hydrogen or (C₁-C₆)alkyl; and

R¹⁹ is hydrogen, hydroxy or (C₁-C₆)alkoxy.

4. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (III):



or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein:

Ar¹ is aryl, R¹⁰-substituted aryl or heteroaryl;

Ar² is aryl or R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X and Y are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R is $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ or $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$;

R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are $=\text{O}$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH}-\text{COOR}^6$;

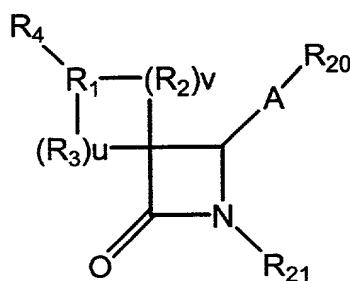
R^5 is 1-5 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$, halogen, $-(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH}-\text{COOR}^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$ and halogen.

5. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IV):



(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein:

R₁ is

$\text{-}\overset{|}{\text{CH}}\text{-}$, $\text{-}\overset{|}{\text{C}}(\text{lower alkyl})\text{-}$, $\text{-}\overset{|}{\text{CF}}\text{-}$, $\text{-}\overset{|}{\text{C}}(\text{OH})\text{-}$, $\text{-}\overset{|}{\text{C}}(\text{C}_6\text{H}_5)\text{-}$, $\text{-}\overset{|}{\text{C}}(\text{C}_6\text{H}_4\text{-R}_{15})\text{-}$,

$\text{-}\overset{|}{\text{N}}\text{-}$ or $\text{-}\overset{|}{\text{N}}\text{O}^-\text{-}$;

R₂ and R₃ are independently selected from the group consisting of:

$\text{-CH}_2\text{-}$, $\text{-CH}(\text{lower alkyl})\text{-}$, $\text{-C}(\text{di-lower alkyl})\text{-}$, -CH=CH- and $\text{-C}(\text{lower alkyl})=\text{CH-}$; or

R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a

-CH=CH- or a $\text{-CH=C}(\text{lower alkyl})\text{-}$ group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R₂ is -CH=CH- or $\text{-C}(\text{lower alkyl})=\text{CH-}$, v is 1; provided that when R₃ is -CH=CH- or $\text{-C}(\text{lower alkyl})=\text{CH-}$, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

R₄ is selected from $\text{B}(\text{CH}_2)_m\text{C}(\text{O})\text{-}$, wherein m is 0, 1, 2, 3, 4 or 5;

$\text{B}(\text{CH}_2)_q\text{-}$, wherein q is 0, 1, 2, 3, 4, 5 or 6;

$\text{B}(\text{CH}_2)_e\text{-Z}(\text{CH}_2)_r\text{-}$, wherein Z is -O- , $\text{-C}(\text{O})\text{-}$, phenylene, $\text{-N}(\text{R}_8)\text{-}$ or

$\text{-S}(\text{O})_{0-2}\text{-}$, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

$\text{B}(\text{C}_2\text{-C}_6 \text{ alkenylene})\text{-}$;

$\text{B}(\text{C}_4\text{-C}_6 \text{ alkadienylene})\text{-}$;

B-(CH₂)_t-Z-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

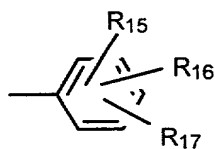
B-(CH₂)_t-V-(C₂-C₆ alkenylene)- or

B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group $\text{B}-\text{CH}=\overset{\text{I}}{\text{C}}-$;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂-, N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno-, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₀₋₂R₈, tert-butyldimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-,

$N(R_8)(R_9)C(O)(\text{lower alkylenyloxy})-$ and $-\text{CH}_2-\text{N} \begin{array}{c} \diagup \quad \diagdown \\ \text{ } \quad \text{ } \end{array} \text{R}_{13}$ for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, $-\text{C(O)OR}_{10}$, $-\text{C(O)R}_{10}$, OH, $N(R_8)(R_9)$ -lower alkylene-, $N(R_8)(R_9)$ -lower alkylenyloxy-, $-\text{S(O)}_2\text{NH}_2$ and 2-(trimethylsilyl)-ethoxymethyl;

R_7 is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, $-\text{COOH}$, NO_2 , $-\text{N(R}_8)(\text{R}_9)$, OH, and halogeno;

R_8 and R_9 are independently selected from H or lower alkyl;

R_{10} is selected from lower alkyl, phenyl, R_7 -phenyl, benzyl or R_7 -benzyl;

R_{11} is selected from OH, lower alkyl, phenyl, benzyl, R_7 -phenyl or R_7 -benzyl;

R_{12} is selected from H, OH, alkoxy, phenoxy, benzyloxy,

$-\text{N} \begin{array}{c} \diagup \quad \diagdown \\ \text{ } \quad \text{ } \end{array} \text{R}_{13}$, $-\text{N(R}_8)(\text{R}_9)$, lower alkyl, phenyl or R_7 -phenyl;

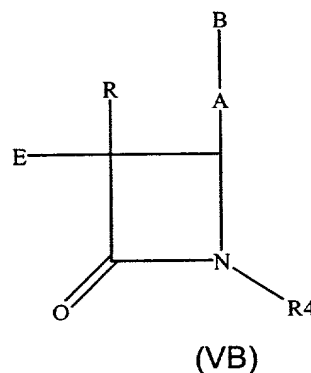
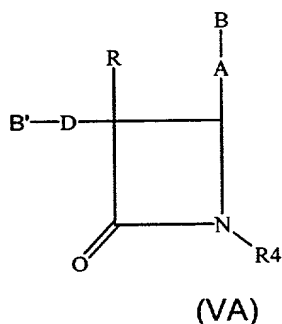
R_{13} is selected from $-\text{O}-$, $-\text{CH}_2-$, $-\text{NH}-$, $-\text{N(lower alkyl)-}$ or $-\text{NC(O)R}_{19}$;

R_{15} , R_{16} and R_{17} are independently selected from the group consisting of H and the groups defined for W; or R_{15} is hydrogen and R_{16} and R_{17} , together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R_{19} is H, lower alkyl, phenyl or phenyl lower alkyl; and

R_{20} and R_{21} are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

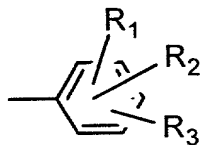
6. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VA) or Formula (VB):



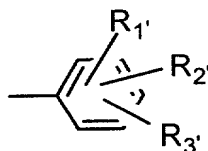
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VA) or (VB) or of the isomers thereof, or prodrugs of the compounds of Formula (VA) or (VB) or of the isomers, salts or solvates thereof, wherein:

A is $-\text{CH}=\text{CH}-$, $-\text{C}\equiv\text{C}-$ or $-(\text{CH}_2)_p-$ wherein p is 0, 1 or 2;

B is



B' is



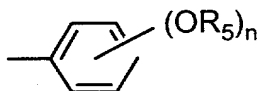
D is $-(\text{CH}_2)_m\text{C}(\text{O})-$ or $-(\text{CH}_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C_{10} to C_{20} alkyl or $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, $\text{C}_1\text{-C}_{15}$ alkyl, straight or branched, saturated or containing one or more double bonds, or $\text{B}-(\text{CH}_2)_r-$, wherein r is 0, 1, 2, or 3;

R_1 , R_2 , R_3 , R_1' , R_2' , and R_3' are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO_2 , NH_2 , OH, halogeno, lower alkylamino, dilower alkylamino, $-\text{NHC}(\text{O})\text{OR}_5$, $\text{R}_6\text{O}_2\text{SNH}-$ and $-\text{S}(\text{O})_2\text{NH}_2$;

R_4 is



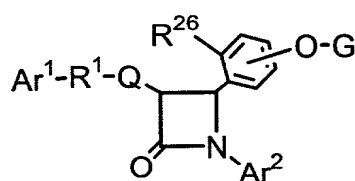
wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl,

wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino.

7. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VI):

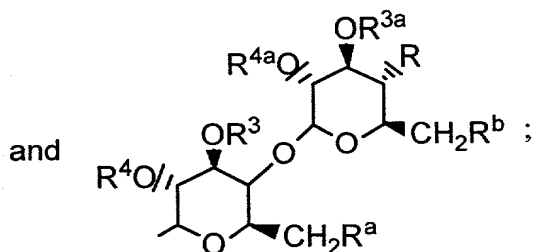
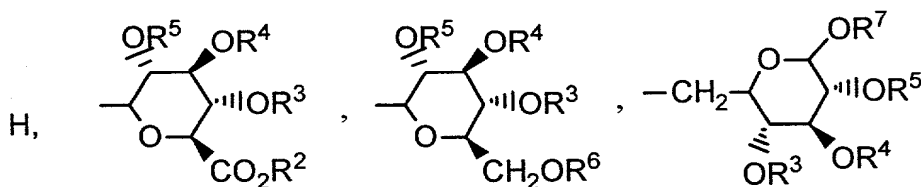


(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein:

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



provided that when R²⁶ is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy and -W-R³⁰;

wherein W is independently selected from the group consisting of -NH-C(O),
-O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H,
(C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group
consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T,
R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,
R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and
R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl,
oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl,
imidazolyl and pyridyl;

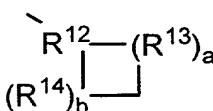
R³² is independently selected from 1-3 substituents independently selected
from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂,
(C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfanyl,
(C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂,
-C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or

R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³²
form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolynyl or morpholynyl group,
or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-
methylpiperazinyl, indolynyl or morpholynyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group ; and

R¹ is selected from the group consisting of:

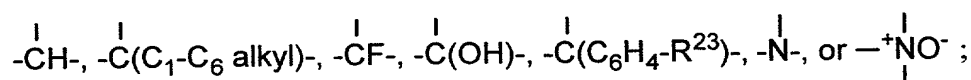
$-(CH_2)_q-$, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

$-(CH_2)_e-E-(CH_2)_r-$, wherein E is $-O-$, $-C(O)-$, phenylene, $-NR^{22}-$ or $-S(O)_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

$-(C_2-C_6)alkenylene-$; and

$-(CH_2)_f-V-(CH_2)_g-$, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R^{12} is



R^{13} and R^{14} are independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6 \text{ alkyl})-$, $-C(di-(C_1-C_6) \text{ alkyl})-$, $-CH=CH-$ and $-C(C_1-C_6 \text{ alkyl})=CH-$; or R^{12} together with an adjacent R^{13} , or R^{12} together with an adjacent R^{14} , form a $-CH=CH-$ or a $-CH=C(C_1-C_6 \text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

provided that when R^{13} is $-CH=CH-$ or $-C(C_1-C_6 \text{ alkyl})=CH-$, a is 1;

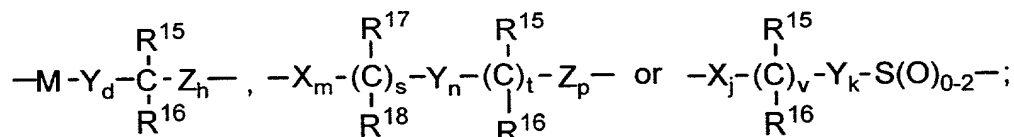
provided that when R^{14} is $-CH=CH-$ or $-C(C_1-C_6 \text{ alkyl})=CH-$, b is 1;

provided that when a is 2 or 3, the R^{13} 's can be the same or different;

and

provided that when b is 2 or 3, the R^{14} 's can be the same or different;

and when Q is a bond, R^1 also can be:



M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X , Y and Z are independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6)alkyl-$ and $-C(di-(C_1-C_6)alkyl)-$;

R^{10} and R^{11} are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of $(C_1-C_6)alkyl$,

-OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰,
 -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵,
 -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹,
 -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹,
 -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of
 -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H,
 (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together
 are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at
 least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when
 p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s
 is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R¹ is
$$\begin{array}{c} \text{R}^{15} \\ | \\ -\text{X}_j - (\text{C})_v - \text{Y}_k - \text{S}(\text{O})_{0-2} - \\ | \\ \text{R}^{16} \end{array},$$

Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl,
 thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H,
 (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

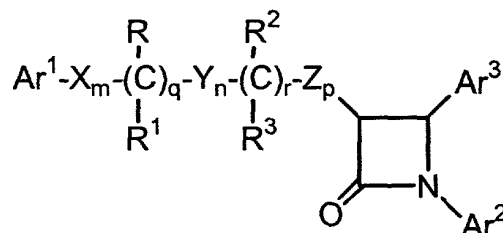
R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the
 group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH
 and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.

8. The method of claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VII):



(VII)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VII) or of the isomers thereof, or prodrugs of the compounds of Formula (VII) or of the isomers, salts or solvates thereof, wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently 0, 1, 2, 3 or 4;

provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and

provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶,

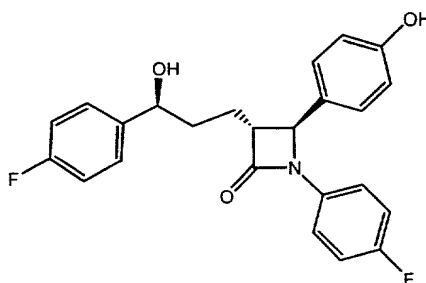
-CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
 -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN,
 -NO₂ and halogen;

R⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶,
 -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷,
 -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶,
 -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷,
 -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of
 hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

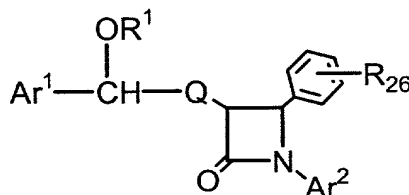
9. The method of claim 1, wherein the at least one sterol absorption
 inhibitor is represented by Formula (VIII):



(VIII)

or pharmaceutically acceptable salts or solvates of the compound of Formula (VIII)
 or prodrugs of the compound of Formula (VIII) or of the salts or solvates thereof.

10. The method of claim 1, wherein the at least one sterol absorption
 inhibitor is represented by Formula (IX):



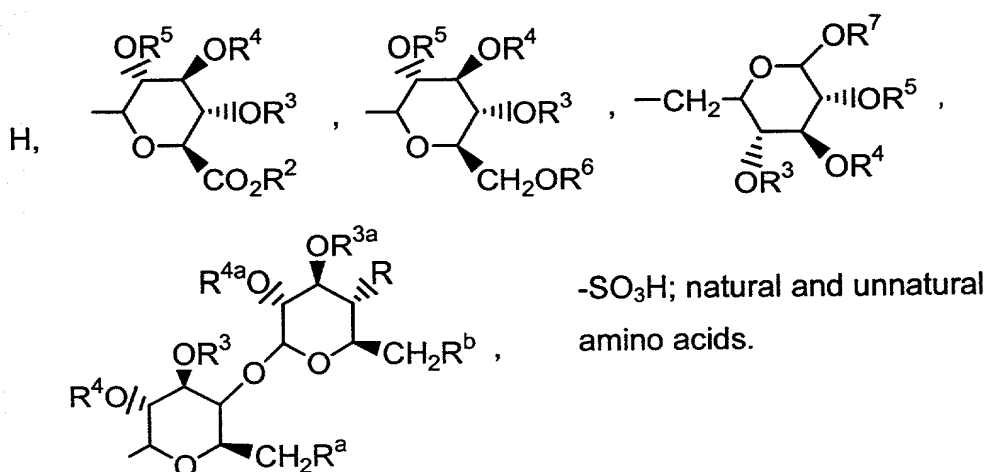
(IX)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IX) or of the isomers thereof, or prodrugs of the compounds of Formula (IX) or of the isomers, salts or solvates thereof, wherein:

R²⁶ is selected from the group consisting of:

- a) OH;
- b) OCH₃;
- c) fluorine and
- d) chlorine.

R¹ is selected from the group consisting of



R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy and -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of

R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl,

R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl,

R³²-substituted-(C3-C7)cycloalkyl and R³²-substituted-(C3-C7)cycloalkyl(C1-C6)alkyl;

R³¹ is independently selected from the group consisting of H and (C1-C4)alkyl;

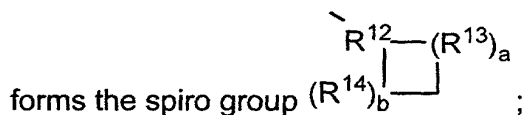
T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of H, halogeno, (C1-C4)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C1-C4)alkoxy, methylenedioxy, oxo, (C1-C4)alkylsulfanyl, (C1-C4)alkylsulfinyl, (C1-C4)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C1-C4)alkyl, -C(O)-N((C1-C4)alkyl)₂, -C(O)-(C1-C4)alkyl, -C(O)-(C1-C4)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indoliny or morpholiny group, or a (C1-C4)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indoliny or morpholiny group;

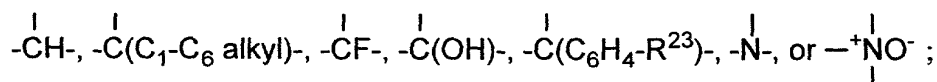
Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is -(CH₂)_q-, wherein q is 2-6, or, with the 3-position ring carbon of the azetidinone,



R¹² is



R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C1-C6 alkyl)-, -C(di-(C1-C6) alkyl)-, -CH=CH- and -C(C1-C6 alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C1-C6 alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^{13} is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, a is 1; provided that when R^{14} is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, b is 1; provided that when a is 2 or 3, the R^{13} 's can be the same or different; and provided that when b is 2 or 3, the R^{14} 's can be the same or different;

R^{10} and R^{11} are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of $(\text{C}_1\text{-C}_6)\text{alkyl}$, $-\text{OR}^{19}$, $-\text{O}(\text{CO})\text{R}^{19}$, $-\text{O}(\text{CO})\text{OR}^{21}$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^{19}$, $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$, $-\text{NR}^{19}\text{R}^{20}$, $-\text{NR}^{19}(\text{CO})\text{R}^{20}$, $-\text{NR}^{19}(\text{CO})\text{OR}^{21}$, $-\text{NR}^{19}(\text{CO})\text{NR}^{20}\text{R}^{25}$, $-\text{NR}^{19}\text{SO}_2\text{R}^{21}$, $-\text{COOR}^{19}$, $-\text{CONR}^{19}\text{R}^{20}$, $-\text{COR}^{19}$, $-\text{SO}_2\text{NR}^{19}\text{R}^{20}$, $\text{S}(\text{O})_{0-2}\text{R}^{21}$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^{19}$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^{19}\text{R}^{20}$, $-(\text{C}_1\text{-C}_6 \text{ alkylene})\text{-COOR}^{19}$, $-\text{CH}=\text{CH}\text{-COOR}^{19}$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$ and halogen;

Ar^1 can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R^{19} and R^{20} are independently selected from the group consisting of H, $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl and aryl-substituted $(\text{C}_1\text{-C}_6)\text{alkyl}$;

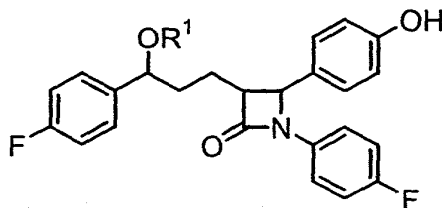
R^{21} is $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl or R^{24} -substituted aryl;

R^{22} is H, $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl $(\text{C}_1\text{-C}_6)\text{alkyl}$, $-\text{C}(\text{O})\text{R}^{19}$ or $-\text{COOR}^{19}$;

R^{23} and R^{24} are independently 1-3 groups independently selected from the group consisting of H, $(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{C}_1\text{-C}_6)\text{alkoxy}$, $-\text{COOH}$, NO_2 , $-\text{NR}^{19}\text{R}^{20}$, $-\text{OH}$ and halogeno; and

R^{25} is H, $-\text{OH}$ or $(\text{C}_1\text{-C}_6)\text{alkoxy}$.

11. The method of claim 10, wherein the at least one sterol absorption inhibitor is represented by Formula (X):

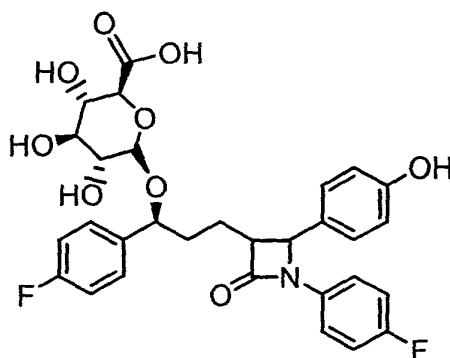


X

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (X) or of the isomers thereof, or prodrugs of the compounds of Formula (X) or of the isomers, salts or solvates thereof.

5

12. The method of claim 10, wherein the at least one sterol absorption inhibitor is represented by Formula (XI):



(XI)

10

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (XI) or of the isomers thereof, or prodrugs of the compounds of Formula (XI) or of the isomers, salts or solvates thereof.

15

13. The method according to claim 1, wherein the sterol absorption inhibitor is administered to the mammal in an amount ranging from about 0.1 to about 30 milligrams of sterol absorption inhibitor per kilogram of mammal body weight per day.

20

14. The method according to claim 13, wherein the sterol absorption inhibitor is administered to the mammal in an amount ranging from about 0.1 to about 15 milligrams of sterol absorption inhibitor per kilogram of mammal body weight per day.

25

15. The method of claim 1, further comprising administering to the mammal in need of such treatment an effective amount of at least one lipid lowering agent in combination with the at least one sterol absorption inhibitor.

16. The method of claim 15, wherein the lipid lowering agent is a HMG-CoA reductase inhibitor.

17. The method of claim 16, wherein the HMG-CoA reductase inhibitor is selected from the group consisting of simvastatin, lovastatin, pravastatin, fluvastatin, atorvastatin, rosuvastatin, itavastatin and mixtures thereof.

18. The method of claim 17, wherein the HMG-CoA reductase inhibitor is simvastatin or atorvastatin.

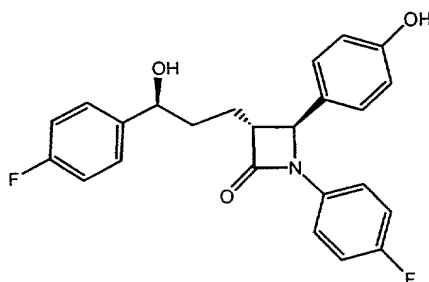
19. The method of claim 15, wherein the sterol absorption inhibitor is administered to the mammal in an amount ranging from about 0.1 to about 30 milligrams of sterol absorption inhibitor per kilogram of mammal body weight per day.

20. The method of claim 15, wherein the lipid lowering agent is administered to the mammal in an amount ranging from about 0.1 to about 80 milligrams of lipid lowering agent per kilogram of mammal body weight per day.

21. The method of claim 15, wherein the sterol absorption inhibitor and lipid lowering agent are present in separate treatment compositions.

22. The method of claim 15, comprising:

a) a sterol absorption inhibitor represented by Formula (VIII):



(VIII)

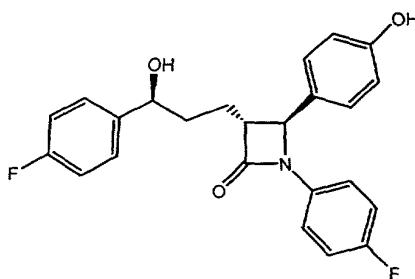
and

b) at least one HMG-CoA reductase inhibitor.

23. The method of claim 22, wherein the HMG-CoA reductase inhibitor is selected from the group consisting of lovastatin, pravastatin, fluvastatin, simvastatin, atorvastatin, rosuvastatin, itavastatin and mixtures thereof.

24. A method of treating or preventing sitosterolemia comprising administering to a mammal in need of such treatment:

- (a) an effective amount of a sterol absorption inhibitor represented by Formula (VIII):



(VIII)

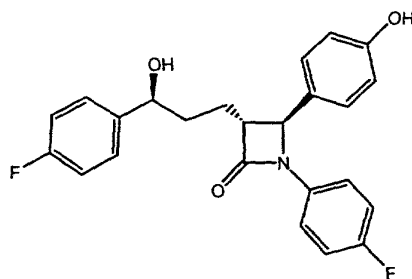
and

- b) an effective amount of atorvastatin and/or simvastatin.

25. A pharmaceutical composition for the treatment or prevention of sitosterolemia, comprising an effective amount of the sterol absorption inhibitor used in the method of Claim 1 in a pharmaceutically acceptable carrier.

26. A pharmaceutical composition for the treatment or prevention of sitosterolemia, comprising an effective amount of the sterol absorption inhibitor used in the method of Claim 8 in a pharmaceutically acceptable carrier.

27. A pharmaceutical composition for the treatment or prevention of sitosterolemia, comprising an effective amount of the compound of Formula (VIII)

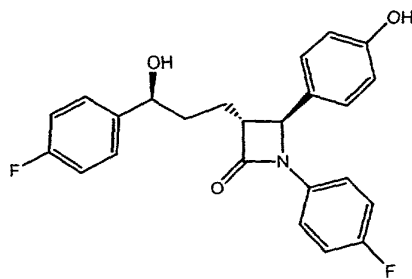


(VIII)

in a pharmaceutically acceptable carrier.

28. A pharmaceutical composition for the treatment or prevention of sitosterolemia, comprising:

- a) an effective amount of the compound of Formula (VIII)



(VIII)

and

- b) an effective amount of a lipid lowering agent
in a pharmaceutically acceptable carrier.

29. The composition of claim 28, wherein the lipid lowering agent is a HMG CoA reductase inhibitor.

30. The composition of claim 29, wherein the HMG CoA reductase inhibitor is selected from the group consisting of lovastatin, pravastatin, fluvastatin, simvastatin, atorvastatin, rosuvastatin, itavastatin and mixtures thereof.

31. The composition of claim 30, wherein the HMG CoA reductase inhibitor is simvastatin or atorvastatin.

32. A method of treating or preventing sitosterolemia, comprising administering to a mammal in need of such treatment: (1) an effective amount of at least one sterol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption, or mixture thereof; and (2) an effective amount of at least one bile acid sequestrant or other lipid lowering agent.

33. A method of treating or preventing sitosterolemia comprising administering to a mammal in need of such treatment: (1) an effective amount of at least one sterol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor, or prodrug of the least one sterol absorption or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor, or mixture thereof; and (2) at least one sterol biosynthesis inhibitor.

34. A method of reducing plasma or tissue concentration of at least one non-cholesterol sterol, 5α -stanol, or mixture thereof, comprising administering to a mammal in need of such treatment an effective amount of at least one treatment composition comprising an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof.

35. The method according to claim 34, wherein the non-cholesterol sterol is at least one phytosterol.

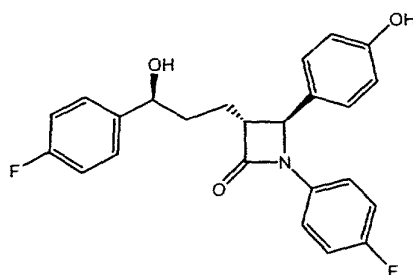
36. The method according to claim 35, wherein the phytosterol is selected from the group consisting of sitosterol, campesterol, stigmasterol, avenosterol, and mixtures thereof.

37. The method according to claim 36, wherein the phytosterol is selected from the group consisting of sitosterol and campesterol.

5 38. The method according to claim 34, wherein the 5α -stanol is selected from the group consisting of cholestanol, 5α -campestanol, 5α -sitostanol and mixtures thereof.

10 39. A method of reducing plasma or tissue concentration of at least one non-cholesterol sterol, 5α -stanol, or mixture thereof, comprising administering to a sitosterolemic mammal in need of such treatment an effective amount of at least one treatment composition comprising an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof.

20 40. The method of 39, wherein the sterol absorption inhibitor is represented by Formula (VIII)



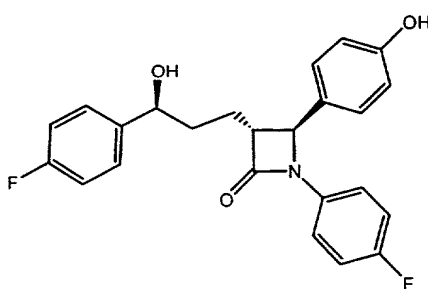
(VIII) .

25 41. The method of claim 40, wherein the treatment composition further comprises at least one lipid lowering agent which is an HMG CoA reductase inhibitor.

42. The method of claim 41, wherein the HMG CoA reductase inhibitor is simvastatin or atorvastatin.

43. The method of claim 39, further comprising administering to the mammal in need of such treatment an effective amount of at least one bile acid sequestrant in combination with at least one of the sterol absorption inhibitors.

44. The method of claim 39, wherein the sterol absorption inhibitor is represented by Formula (VIII)



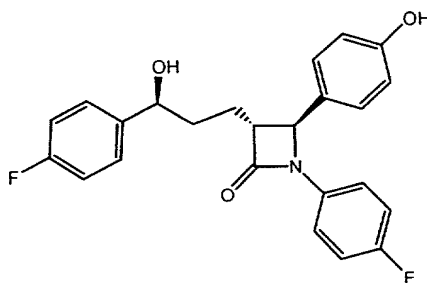
(VIII)

and the treatment composition further comprises at least one bile acid sequestrant.

45. The method of claim 44, wherein the bile acid sequestrant is selected from the group consisting of cholestyramine, colesevelam hydrochloride, and colestipol.

46. A pharmaceutical composition for the treatment or prevention of sitosterolemia, comprising:

- a) an effective amount of the compound of Formula (VIII)



(VIII)

and

- b) an effective amount of a bile acid sequestrant

in a pharmaceutically acceptable carrier.

47. The composition of claim 46, wherein the bile acid sequestrant is selected from the group consisting of cholestyramine, colestipol, and colestipol.

48. A method of treating vascular disease comprising administering to a mammal in need of such treatment an effective amount of at least one treatment composition comprising an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof to reduce plasma or tissue concentration of at least one non-cholesterol sterol, 5 α -stanol or mixture thereof.

49. A method of preventing or reducing arteriosclerosis comprising administering to a mammal in need of such treatment an effective amount of at least one treatment composition comprising an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof to reduce plasma or tissue concentration of at least one non-cholesterol sterol, 5 α -stanol or mixture thereof.

50. A method of preventing or reducing atherosclerosis comprising administering to a mammal in need of such treatment an effective amount of at least one treatment composition comprising an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or

pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof to reduce plasma or tissue concentration of at least one non-cholesterol sterol, 5 α -stanol or mixture thereof.

51. A method of preventing or reducing risk of a cardiovascular event comprising administering to a mammal an effective amount of at least one treatment composition comprising an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof to reduce plasma or tissue concentration of at least one non-cholesterol sterol, 5 α -stanol or mixture thereof.

52. A method of preventing or reducing risk of a cardiovascular event comprising administering an effective amount of at least one treatment composition an effective amount of at least one sterol absorption inhibitor or at least one stanol absorption inhibitor, or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or prodrug of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor or pharmaceutically acceptable salt or solvate of the least one sterol absorption inhibitor or the at least one stanol absorption inhibitor, or mixture thereof to reduce plasma or tissue concentration of at least one non-cholesterol sterol, 5 α -stanol or mixture thereof to a mammal having no history of clinically evident coronary heart disease prior to the initial administration.

53. A method of reducing plasma or tissue concentration of at least one compound selected from the group consisting of phytosterols, 5 α -stanols and

mixtures thereof, comprising administering to a sitosterolemic mammal in need of such treatment an effective amount of at least one sterol absorption inhibitor or a prodrug or a pharmaceutically acceptable salt thereof.

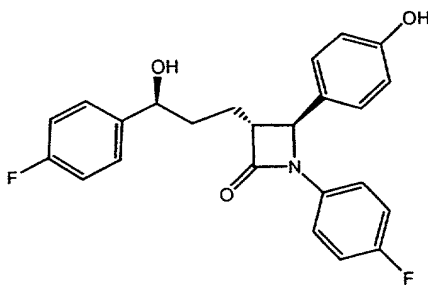
5 54. A method of reducing plasma or tissue concentration of at least one compound selected from the group consisting of phytosterols, 5α -stanols and mixtures thereof, comprising administering to a mammal in need of such treatment an effective amount of at least one sterol absorption inhibitor or a prodrug or a pharmaceutically acceptable salt thereof and at least one lipid lowering agent.

10 55. A method of reducing plasma or tissue concentration of at least one compound selected from the group consisting of phytosterols, 5α -stanols and mixtures thereof, comprising administering to a sitosterolemic mammal in need of such treatment an effective amount of at least one sterol absorption inhibitor or a prodrug or a pharmaceutically acceptable salt thereof and at least one lipid lowering agent.

15 56. A method of reducing plasma or tissue concentration of at least one compound selected from the group consisting of phytosterols, 5α -stanols and mixtures thereof, comprising administering to a mammal in need of such treatment an effective amount of at least one sterol absorption inhibitor or a prodrug or a pharmaceutically acceptable salt thereof and at least one bile acid sequestrant.

20 57. A therapeutic combination comprising:

25 a) a first amount of the compound of Formula (VIII)



(VIII)

and

5

a) a first amount of the compound of Formula (VIII)



10

15